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1. Document ID: US 6225353 B1, WO 9851293 A1, US 5869535 A, EP 981341 A1  
L15: Entry 1 of 2                                  File: DWPI                                  May 1, 2001

DERWENT-ACC-NO: 1999-024159 •

DERWENT-WEEK: 200126

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TITLE: Composition for treatment of melanoma comprising modified natural betulinic acid - overcomes the problems of high mammalian toxicity associated with prior art synthetic anticancer agents

INVENTOR: DASGUPTA, T K; KIM, D S H L ; PEZZUTO, J M

PRIORITY-DATA: 1997US-0858011 (May 16, 1997), 1995US-0407756 (March 21, 1995), 1998US-0189698 (November 10, 1998)

## PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
US 6225353 B1	May 1, 2001	N/A	000	A61K031/21
WO 9851293 A1	November 19, 1998	E	070	A61K031/19
US 5869535 A	February 9, 1999	N/A	000	A61K031/15
EP 981341 A1	March 1, 2000	E	000	A61K031/19

INT-CL (IPC): A61K 31/15; A61K 31/165; A61K 31/19; A61K 31/21; A61K 35/78

ABSTRACTED-PUB-NO: US 5869535A

## BASIC-ABSTRACT:

Composition for treatment of melanoma comprises betulinic acid (I) modified at the C3-, C-20 or C-28 position or a compound of formula (II). R1 = O, HON, MeOH, H2N, HO or PhCO2; R2 = CHO, CO2H, CHNOMe, CHNOH or CH2OH; R3 = CMe3 or CH2=CMe2. Also claimed is the inhibition of melanoma growth or the prevention of melanoma comprising topical administration of (I) modified as above or (II).

ADVANTAGE - The composition overcomes the problems of high mammalian toxicity associated with prior art synthetic anticancer agents by using a natural product derived compound. It also overcomes the problems of insufficient availability associated with synthetic anticancer agents by using readily available naturally occurring (I) or its derivative. The compounds are easier to incorporate into compositions than

prior art drugs. (I) and its derivatives have highly selective activity against melanoma cells.

ABSTRACTED-PUB-NO:

US 6225353B EQUIVALENT-ABSTRACTS:

Composition for treatment of melanoma comprises **betulinic acid** (I) modified at the C3-, C-20 or C-28 position or a compound of formula (II). R<sub>1</sub> = O, HON, MeOH, H<sub>2</sub>N, HO or PhCO<sub>2</sub>; R<sub>2</sub> = CHO, CO<sub>2</sub>H, CHNOMe, CHNOH or CH<sub>2</sub>OH; R<sub>3</sub> = CMe<sub>3</sub> or CH<sub>2</sub>=CMe<sub>2</sub>. Also claimed is the inhibition of melanoma growth or the prevention of melanoma comprising topical administration of (I) modified as above or (II).

ADVANTAGE - The composition overcomes the problems of high mammalian toxicity associated with prior art synthetic anticancer agents by using a natural product derived compound. It also overcomes the problems of insufficient availability associated with synthetic anticancer agents by using readily available naturally occurring (I) or its derivative. The compounds are easier to incorporate into compositions than prior art drugs. (I) and its derivatives have highly selective activity against melanoma cells.

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WO 9851293A

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2. Document ID: JP 11502525 W, WO 9629068 A2, WO 9629068 A3, US 5658947 A, EP 814795 A1

L15: Entry 2 of 2

File: DWPI

Mar 2, 1999

DERWENT-ACC-NO: 1996-442935

DERWENT-WEEK: 199919

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TITLE: Compsn. for treating tumour growth e.g. malignant melanoma - contg. betulinic acid deriv., has no toxic side effects and uses cpds. derived from natural prods. e.g. white-barked birch

INVENTOR: DASGUPTA, T K; PEZZUTO, J M

PRIORITY-DATA: 1995US-0407756 (March 21, 1995)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
JP 11502525 W	March 2, 1999	N/A	028	C07J053/00
WO 9629068 A2	September 26, 1996	E	032	A61K031/19
WO 9629068 A3	February 6, 1997	N/A	000	N/A
US 5658947 A	August 19, 1997	N/A	010	A61K031/22
EP 814795 A1	January 7, 1998	E	000	N/A

INT-CL (IPC): A61K 31/19; A61K 31/22; A61K 31/56; C07J 53/00; C07J 63/00

ABSTRACTED-PUB-NO: US 5658947A

BASIC-ABSTRACT:

Compsn. for treating tumour growth comprises betulinic acid of formula (I):

Also claimed is a method for clinically monitoring the use of betulinic acid (Ia) for treating tumour growth and the prevention and inhibition by inducing apoptosis, which comprises: (a) forming a DNA fragment of cancer cells in culture; (b) analysing total cellular DNA content using agarose field-inversion gel electrophoresis; (c) resolving the fragment as a diffusion band, and (d) fractioning the total cellular DNA represented by the fragment by densitometry on the band contour.

USE - The compsn. can be used to inhibit tumour growth and treat malignant melanoma. It also prevents the growth or spread of cancerous cells. Admin. is esp. topical.

ADVANTAGE - The compsn. has no toxic side effects and utilises cpds. derived from natural prods. Betulinic acid is a common terpene available from many plant species e.g. white-barked birch.

ABSTRACTED-PUB-NO:

WO 9629068A EQUIVALENT-ABSTRACTS:

Inhibiting growth of a melanoma comprises topically applying a therapeutic ally-effective amount of betulinic acid to the melanoma.

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Documents, starting with Document:

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